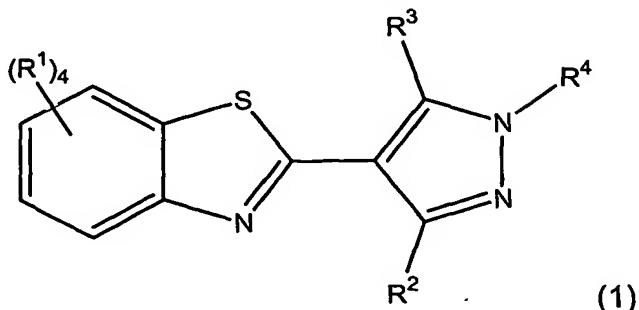


What is claimed is:

1. A pharmaceutical composition comprising a pharmaceutically acceptable excipient and a compound of formula (1):



as a single tautomer, a mixture of tautomers, a single stereoisomer, a mixture of stereoisomers, or a racemic mixture; or a pharmaceutically acceptable salt or solvate thereof; wherein:

$R^1$ ,  $R^2$  and  $R^3$  at each occurrence is independently selected from amino, aminosulfinyl, aminosulfonyl, aryl, azido, halogen, heteroalkyl, heteroaryl, hydrazinyl, hydrocarbyl, hydrogen, hydroxyl, nitro, nitroso, phosphate, phosphinate, phosphonate, phosphonium, phosphorothioate, phosphoryl, sulfamoyl, sulfate, sulfinic acid, sulfonamido, sulfonate, sulfonic acid, sulfonyl, sulfoxido, thiol, thioureido, and ureido; and

$R^4$  is selected from hydrogen, heteroalkyl, heteroaryl, and hydrocarbyl.

2. A composition according to Claim 1 wherein heteroalkyl is selected from aminohydrocarboyl (*i.e.*,  $-\text{NH}-\text{C}(=\text{O})-\text{Hy}$ ), amido (*i.e.*,  $-\text{C}(=\text{O})-\text{NH}_2$ ), carboxylic acid (*i.e.*,  $-\text{COOH}$ ), cyano (*i.e.*,  $-\text{CN}$ ), dihydrocarbylamido (*i.e.*,  $-\text{C}(=\text{O})-\text{N}(\text{Hy})(\text{Hy})$ ), dihydrocarbylamino (*i.e.*,  $-\text{N}(\text{Hy})(\text{Hy})$ ), di(hydrocarbyl)phosphido, formyl (*i.e.*,  $-\text{C}(=\text{O})\text{H}$ ), hydrocarboyl (*i.e.*,  $-\text{C}(=\text{O})-\text{Hy}$ ), hydrocarboxyloxy (*i.e.*,  $-\text{O}-\text{C}(=\text{O})-\text{Hy}$ ) hydrocarbylamino (*i.e.*,  $-\text{NH}-\text{Hy}$ ), hydrocarboxyloxy (*i.e.*,  $-\text{O}-\text{Hy}$ ), hydrocarboxy carbonyl (*i.e.*,  $-\text{C}(=\text{O})-\text{O}-\text{Hy}$ ) hydrocarbysiloxy, hydrocarbysilylamino, hydrocarbysulfido (*i.e.*,  $-\text{S}-\text{Hy}$ ), hydrocarbylthio, hydrocarbylamido (*i.e.*,  $-\text{C}(=\text{O})-\text{N}(\text{H})(\text{Hy})$ ), isothiocyanate, *N*-heterocycle, perfluorohydrcarbyl, thiocyanate, and

hydrocarbyl substituted with one or more groups selected from alkylamino, amino, aminosulfinyl, aminosulfonyl, azido, dialkylamino, halogen, heteroalkyl, heteroaryl, hydrazinyl, hydroxyl, nitro, nitroso, phosphate, phosphinate, phosphonate, phosphonium, phosphorothioate, phosphoryl, sulfamoyl, sulfate, sulfenic acid, sulfonamido, sulfonate, sulfonic acid, sulfonyl, sulfoxido, thiol, thioureido, and ureido.

3. The composition of Claim 1 where hydrocarbyl is selected from the group consisting of alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkylene, and aryl, where alkyl, alkenyl and alkynyl is optionally substituted with one or more  $Hy^1$  groups selected from cycloalkyl, cycloalkylene and aryl, where each  $Hy^1$  group is optionally substituted with one or more  $Hy^2$  groups selected from alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkylene, and aryl; and cycloalkyl, cycloalkylene and aryl is optionally substituted with one or more  $Hy^2$  groups;

provided that when  $Hy^2$  is selected from alkyl, alkenyl or alkynyl, then  $Hy^2$  may be substituted with one or more  $Hy^3$  groups selected from cycloalkyl, cycloalkylene and aryl, where each  $Hy^3$  group is optionally substituted with one or more  $Hy^4$  groups selected from alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkylene, and aryl, and when  $Hy^2$  is selected from cycloalkyl, cycloalkylene and aryl then  $Hy^2$  is optionally substituted with one or more  $Hy^4$  groups; and

further provided that aryl includes an aryl ring fused to a non-aromatic hydrocarbocyclic ring.

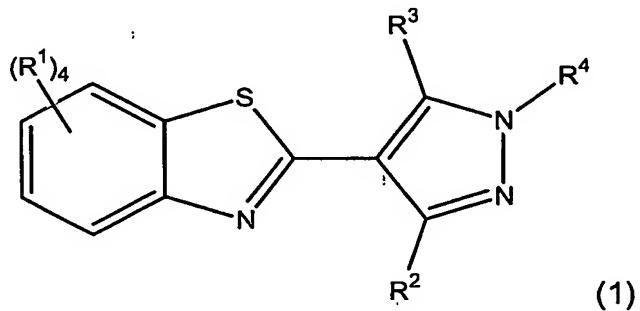
4. The composition of Claims 1-3 wherein  $R^1$  at each occurrence is hydrogen.

5. The composition of any one of Claims 1-4 wherein  $R^4$  is hydrogen.

6. The composition of any one of Claims 1-4 wherein  $R^4$  is  $C_1-C_8$  hydrocarbyl.

7. The composition of any one of Claims 1-6 wherein R<sup>2</sup> is hydrogen.
8. The composition of any one of Claims 1-6 wherein R<sup>2</sup> is selected from lower alkyl and lower haloalkyl.
9. The composition of any one of Claims 1-6 wherein R<sup>2</sup> is amino.
10. The composition of any one of Claims 1-6 wherein R<sup>2</sup> is heterocycle.
11. The composition of Claims any one of 1-6 wherein R<sup>2</sup> is N-heterocycle.
12. The composition of Claims any one of 1-6 wherein R<sup>2</sup> is hydrocarbyl.
13. The composition of any one of Claims 1-12 wherein R<sup>3</sup> is hydrogen.
14. The composition of any one of Claims 1-12 wherein R<sup>3</sup> is selected from phenyl and substituted phenyl.
  15. The composition of Claim 14 wherein R<sup>3</sup> is phenyl substituted with one or more substituents selected from amino, aminosulfinyl, aminosulfonyl, aryl, azido, halogen, heteroalkyl, heteroaryl, hydrazinyl, hydrocarbyl, hydrogen, hydroxyl, nitro, nitroso, phosphate, phosphinate, phosphonate, phosphonium, phosphorothioate, phosphoryl, sulfamoyl, sulfate, sulfinic acid, sulfonamido, sulfonate, sulfonic acid, sulfonyl, sulfoxido, thiol, thioureido, and ureido.
  16. The composition of Claim 14 wherein R<sup>3</sup> is phenyl substituted with one or more substituents selected from hydroxyl, lower alkoxy, lower alkyl,
  17. The composition of Claims 1-12 wherein R<sup>3</sup> is heteroalkyl.

18. The composition of Claim 1-12 wherein  $R^3$  is selected from amino, hydrocarbylamino and dihydrocarbylamino.
19. The composition of Claim 18 wherein  $R^3$  is hydrocarbylamino where hydrocarbyl is aralkyl.
20. The composition of Claim 18 wherein  $R^3$  is hydrocarbylamino where hydrocarbyl is alkyl.
21. The composition of Claim 18 wherein  $R^3$  is amino.
22. The composition of Claims 1-12 wherein  $R^3$  is hydrocarbyl.
23. A compound of formula (1):



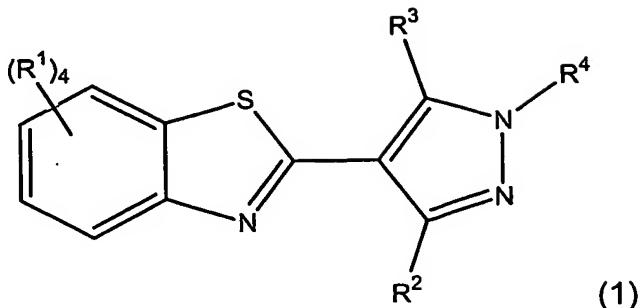
as a single tautomer, a mixture of tautomers, a single stereoisomer, a mixture of stereoisomers, or a racemic mixture; or a pharmaceutically acceptable salt or solvate thereof; wherein:

R<sup>1</sup> and R<sup>2</sup> at each occurrence is independently selected from amino, aminosulfinyl, aminosulfonyl, aryl, azido, halogen, heteroalkyl, heteroaryl, hydrazinyl, hydrocarbyl, hydrogen, hydroxyl, nitro, nitroso, phosphate, phosphinate, phosphonate, phosphonium, phosphorothioate, phosphoryl, sulfamoyl, sulfate, sulfenic acid, sulfonamido, sulfonate, sulfonic acid, sulfonyl, sulfoxido, thiol, thioureido, and ureido;

**R<sup>3</sup> is heterocycle; and**

$R^4$  is selected from hydrogen, heteroalkyl, heteroaryl, and hydrocarbyl.

## 24. A compound of formula (1):



as a single tautomer, a mixture of tautomers, a single stereoisomer, a mixture of stereoisomers, or a racemic mixture; or a pharmaceutically acceptable salt or solvate thereof; wherein:

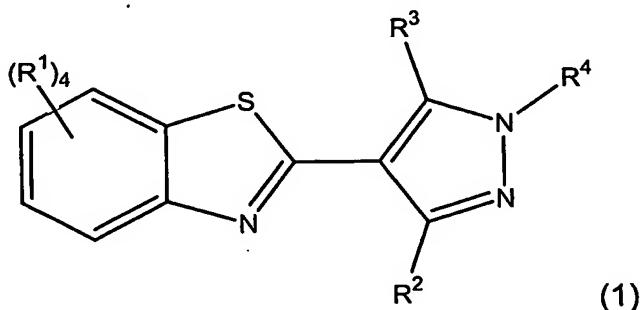
$R^1$  each occurrence is independently selected from amino, aminosulfinyl, aminosulfonyl, aryl, azido, halogen, heteroalkyl, heteroaryl, hydrazinyl, hydrocarbyl, hydrogen, hydroxyl, nitro, nitroso, phosphate, phosphinate, phosphonate, phosphonium, phosphorothioate, phosphoryl, sulfamoyl, sulfate, sulfenic acid, sulfonamido, sulfonate, sulfonic acid, sulfonyl, sulfoxido, thiol, thioureido, and ureido;

$R^2$  is amino;

$R^3$  is selected from hydrocarbyl, -O-hydrocarbyl and -S-hydrocarbyl; and

$R^4$  is selected from hydrogen, heteroalkyl, heteroaryl, and hydrocarbyl.

## 25. A compound of formula (1):



as a single tautomer, a mixture of tautomers, a single stereoisomer, a mixture of stereoisomers, or a racemic mixture; or a pharmaceutically acceptable salt or solvate thereof; wherein:

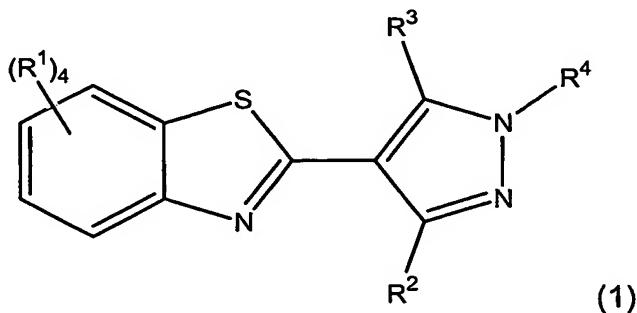
$R^1$  and  $R^2$  at each occurrence is independently selected from amino, aminosulfinyl, aminosulfonyl, aryl, azido, halogen, heteroalkyl, heteroaryl, hydrazinyl,

hydrocarbyl, hydrogen, hydroxyl, nitro, nitroso, phosphate, phosphinate, phosphonate, phosphonium, phosphorothioate, phosphoryl, sulfamoyl, sulfate, sulfinic acid, sulfonamido, sulfonate, sulfonic acid, sulfonyl, sulfoxido, thiol, thioureido, and ureido;

R<sup>3</sup> is hydrogen; and

R<sup>4</sup> is selected from hydrogen, heteroalkyl, heteroaryl, and hydrocarbyl.

26. A compound of formula (1):



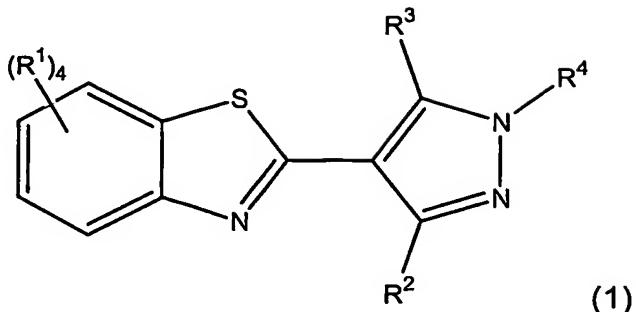
as a single tautomer, a mixture of tautomers, a single stereoisomer, a mixture of stereoisomers, or a racemic mixture; or a pharmaceutically acceptable salt or solvate thereof; wherein:

R<sup>1</sup> and R<sup>2</sup> at each occurrence is independently selected from amino, aminosulfinyl, aminosulfonyl, aryl, azido, halogen, heteroalkyl, heteroaryl, hydrazinyl, hydrocarbyl, hydrogen, hydroxyl, nitro, nitroso, phosphate, phosphinate, phosphonate, phosphonium, phosphorothioate, phosphoryl, sulfamoyl, sulfate, sulfinic acid, sulfonamido, sulfonate, sulfonic acid, sulfonyl, sulfoxido, thiol, thioureido, and ureido;

R<sup>3</sup> is hydrocarbyl; and

R<sup>4</sup> is selected from hydrogen, heteroalkyl, heteroaryl, and hydrocarbyl.

## 27. A compound of formula (1):

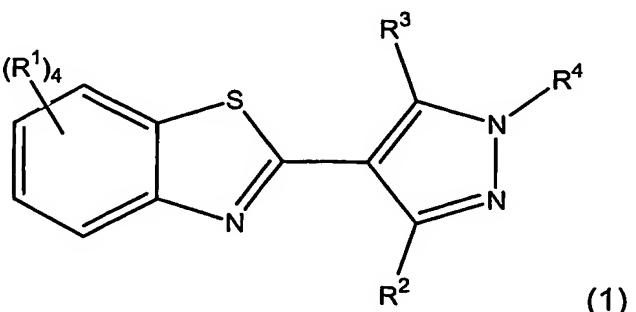


as a single tautomer, a mixture of tautomers, a single stereoisomer, a mixture of stereoisomers, or a racemic mixture; or a pharmaceutically acceptable salt or solvate thereof; wherein:

$R^1$ ,  $R^2$  and  $R^3$  at each occurrence is independently selected from amino, aminosulfinyl, aminosulfonyl, aryl, azido, halogen, heteroalkyl, heteroaryl, hydrazinyl, hydrocarbyl, hydrogen, hydroxyl, nitro, nitroso, phosphate, phosphinate, phosphonate, phosphonium, phosphorothioate, phosphoryl, sulfamoyl, sulfate, sulfinic acid, sulfonamido, sulfonate, sulfonic acid, sulfonyl, sulfoxido, thiol, thioureido, and ureido, with the proviso that  $R^1$  is not hydrogen in at least one occurrence of  $R^1$ ; and

$R^4$  is selected from hydrogen, heteroalkyl, heteroaryl, and hydrocarbyl.

## 28. A compound of formula (1):



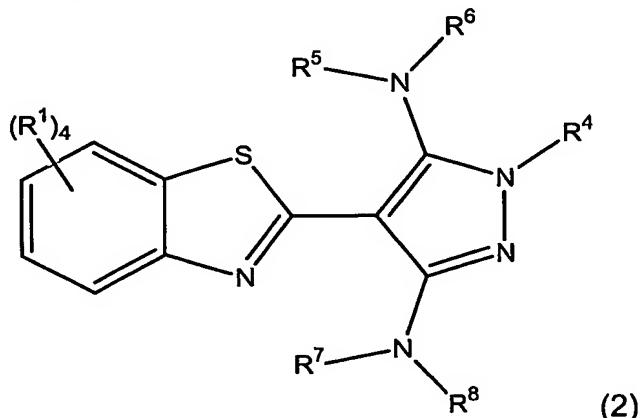
as a single tautomer, a mixture of tautomers, a single stereoisomer, a mixture of stereoisomers, or a racemic mixture; or a pharmaceutically acceptable salt or solvate thereof; wherein:

$R^1$  and  $R^2$  at each occurrence is independently selected from amino, aminosulfinyl, aminosulfonyl, aryl, azido, halogen, heteroalkyl, heteroaryl, hydrazinyl, hydrocarbyl, hydrogen, hydroxyl, nitro, nitroso, phosphate, phosphinate, phosphonate, phosphonium, phosphorothioate, phosphoryl, sulfamoyl, sulfate, sulfinic acid, sulfonamido, sulfonate, sulfonic acid, sulfonyl, sulfoxido, thiol, thioureido, and ureido;

$R^3$  is halogen-substituted hydrocarbyl; and

$R^4$  is selected from hydrogen, heteroalkyl, heteroaryl, and hydrocarbyl.

29. A compound of formula (2):



as a single tautomer, a mixture of tautomers, a single stereoisomer, a mixture of stereoisomers, or a racemic mixture; or a pharmaceutically acceptable salt or solvate thereof; wherein:

$R^1$  at each occurrence is independently selected from amino, aminosulfinyl, aminosulfonyl, aryl, azido, halogen, heteroalkyl, heteroaryl, hydrazinyl, hydrocarbyl, hydrogen, hydroxyl, nitro, nitroso, phosphate, phosphinate, phosphonate, phosphonium, phosphorothioate, phosphoryl, sulfamoyl, sulfate, sulfinic acid, sulfonamido, sulfonate, sulfonic acid, sulfonyl, sulfoxido, thiol, thioureido, and ureido;

$R^4$  is selected from hydrogen, heteroalkyl, heteroaryl, and hydrocarbyl; and

$R^5$ ,  $R^6$ ,  $R^7$  and  $R^8$  at each occurrence is independently selected from heteroalkyl, heteroaryl, hydrocarbyl and hydrogen, with the proviso that  $R^7$  and  $R^8$  may join together to form a heterocyclic ring including the nitrogen to which they are both bonded.

30. A method of treating a hyperproliferative disorder, the method comprising: contacting a patient suffering from said hyperproliferative disorder with an effective dose of a composition according to Claims 1-22 or a compound according to Claims 23-29.

31. The method of Claim 30, wherein said hyperproliferative disorder comprises the growth of tumor cells.

32. The method of Claim 30, wherein said hyperproliferative disorder comprises neointimal hyperplasia.

33. The method of Claim 30, wherein said hyperproliferative disorder is a lymphoproliferative disorder.

34. The method according to Claim 30, wherein said hyperproliferation comprises angiogenesis or neovascularization.

35. The method according to Claim 34, wherein said neovascularization is ocular neovascularization.

36. The method according to Claim 35, wherein said ocular neovascularization is neovascularization of the cornea, iris, retina or choroid.

37. The method according to Claim 35, wherein said ocular neovascularization is associated with age related macular degeneration.

38. The method according to Claim 35, wherein said ocular neovascularization is associated with age related diabetic retinopathy.

39. The method according to Claim 35, further comprising the step of administering a photosensitive agent.

40. The method according to Claim 39, wherein said photosensitive agent is verteporfin.

41. A method of inhibiting cell migration or invasion, the method comprising: contacting a patient suffering from a disorder resulting from said cell migration or invasion with an effective dose of a composition according to Claims 1-22 or a compound according to Claims 23-28.

42. The method according to Claim 41, wherein said cells are cancer cells.

43. The method according to Claim 41, wherein said cells are neutrophils.

44. The method according to Claim 41, wherein said cells are macrophages.

45. A method of inhibiting inflammation, the method comprising: contacting a patient suffering from said inflammation with an effective dose of a composition according to Claims 1-22 or a compound according to Claims 23-29.

46. The method according to Claim 45, wherein said inflammation comprises activation of macrophages.

47. The method according to Claim 46, wherein said inflammation is selected from the group consisting of rheumatoid arthritis, contact dermatitis, allergic dermatitis, and psoriasis.

48. The method according to Claim 46, wherein said inflammation is associated with asthma.

49. A method of treating renal disease, the method comprising: contacting a patient suffering from said renal disease with an effective dose of a composition according to Claims 1-22 or a compound according to Claims 23-29.

50. The method according to Claim 49, wherein said disease is caused by hypertension.

51. The method according to Claim 49, wherein said disease is not caused by hypertension.

52. The method according to Claim 49, further comprising the step of administering an ACE inhibitor.

53. The use of a composition according to Claims 1-22 or a compound according to Claims 23-29 for the treatment of a hyperproliferative disorder in a mammal.

54. The use of Claim 53, wherein said hyperproliferative disorder comprises the growth of tumor cells.

55. The use of Claim 53, wherein said hyperproliferative disorder comprises neointimal hyperplasia.

56. The use of Claim 53, wherein said hyperproliferative disorder is a lymphoproliferative disorder.

57. The use according to Claim 53, wherein said hyperproliferation comprises angiogenesis or neovascularization.

58. The use according to Claim 57, wherein said neovascularization is ocular neovascularization.

59. The use according to Claim 58, wherein said ocular neovascularization is neovascularization of the cornea, iris, retina or choroid.

60. The use according to Claim 58, wherein said ocular neovascularization is associated with age related macular degeneration.

61. The use according to Claim 58, wherein said ocular neovascularization is associated with age related diabetic retinopathy.

62. The use according to Claim 58, further comprising the step of administering a photosensitive agent.

63. The use according to Claim 62, wherein said photosensitive agent is verteporfin.

64. The use of a composition according to Claims 1-22 or a compound according to Claims 23-28 for inhibiting the migration or invasion of cells in a mammal.

65. The use according to Claim 64, wherein said cells are cancer cells.

66. The use according to Claim 64, wherein said cells are neutrophils.

67. The use according to Claim 64, wherein said cells are macrophages.

68. The use of a composition according to Claims 1-22 or a compound according to Claims 23-29 for the treatment of inflammation in a mammal.

69. The use according to Claim 68, wherein said inflammation comprises activation of macrophages.

70. The use according to Claim 68, wherein said inflammation is selected from the group consisting of rheumatoid arthritis, contact dermatitis, allergic dermatitis, and psoriasis.

71. The use according to Claim 68, wherein said inflammation is associated with asthma.

72. The use of a composition according to Claims 1-22 or a compound according to Claims 23-29 for the treatment of renal disease in a mammal.

73. The use according to Claim 72, wherein said disease is caused by hypertension.

74. The use according to Claim 72, wherein said disease is not caused by hypertension.

75. The use according to Claim 72, further comprising the step of administering an ACE inhibitor.

76. The use according to any one of claims 53 to 75 wherein the mammal is a human.